

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
5 February 2004 (05.02.2004)

PCT

(10) International Publication Number
WO 2004/011463 A1

(51) International Patent Classification⁷: C07D 471/14,
471/04

CHELIUS, Erik, Christopher [IE/IE]; Barna, Innishannon, County Cork (IE).

(21) International Application Number:
PCT/US2003/022039

(74) Agent: NAPOLI, James, J.; Marshall, Gerstein & Borun LLP, 233 S. Wacker Drive, Suite 6300, Sears Tower, Chicago, IL 60606-6357 (US).

(22) International Filing Date: 14 July 2003 (14.07.2003)

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/400,386 31 July 2002 (31.07.2002) US
60/460,161 3 April 2003 (03.04.2003) US

(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(71) Applicant: LILLY ICOS, LLC. [US/US]; 1209 Orange Street, Wilmington, DE 19801 (US).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): ORME, Mark, W. [US/US]; 4235 Francis Avenue, #203, Seattle, WA 98103 (US). MARTINELLI, Michael, John [US/US]; 1935 Mulsanne Drive, Zionsville, IN 46077 (US). DOECKE, Christopher, William [US/US]; 7515 East 80th Street, Indianapolis, IN 46256 (US). PAWLAK, Joseph, Matthew [US/US]; 78 Church Street, Indianapolis, IN 46227 (US).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: MODIFIED PICTET-SPENGLER REACTION AND PRODUCTS PREPARED THEREFROM

(57) Abstract: A method of introducing a second stereogenic center into a tetrahydro-8-carboline have two stereogenic centers using a modified Pictet-Spengler reaction is disclosed. The method provides a desired cis- or trans-isomer in high yield and purity, and in short processes times.

WO 2004/011463 A1